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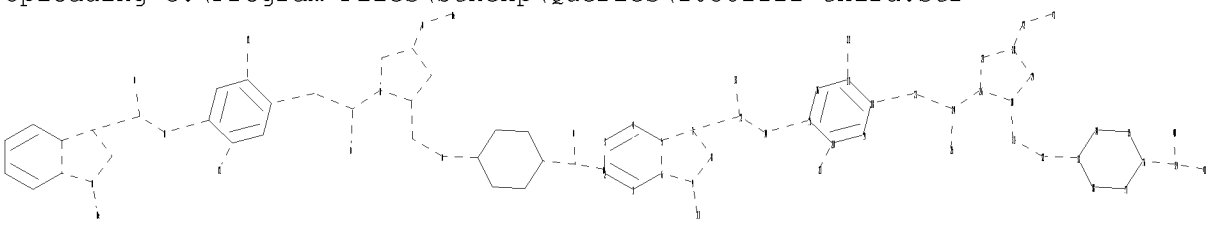

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11 12 13 14 21 22 23 24 25 31 32 39 40 41 42 43
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20 26 27 28 29 30 33 34 35
36 37 38
chain bonds :
7-12 9-11 12-13 12-14 14-15 17-22 18-23 20-21 23-24 24-25 24-26 28-42
30-31 31-32 32-33 36-39 39-40 39-41 42-43
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20 26-27 26-30 27-28 28-29 29-30 33-34 33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
5-6 5-7 6-9 7-8 7-12 8-9 9-11 12-13 12-14 14-15 17-22 18-23 20-21 23-24
24-25 24-26 26-27 26-30 27-28 28-29 28-42 29-30 30-31 31-32 32-33 33-34
33-38 34-35
35-36 36-37 36-39 37-38 39-40 39-41 42-43
normalized bonds :
1-2 1-6 2-3 3-4 4-5 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 : 26 : 33 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
21:CLASS 22:CLASS
23:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS
32:CLASS
33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS 40:CLASS 41:CLASS
42:CLASS 43:CLASS

L1 STRUCTURE UPLOADED

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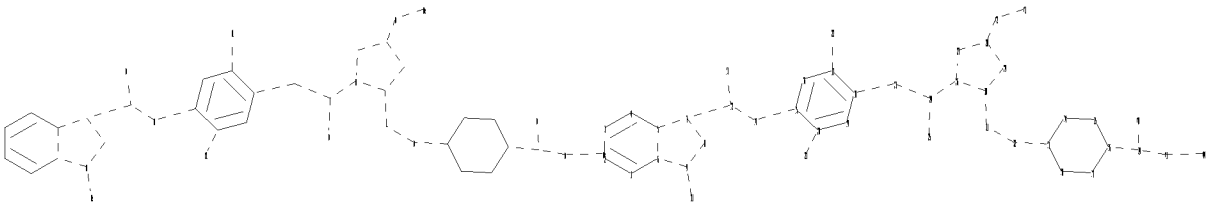

chain nodes :
11 12 13 14 21 22 23 24 25 31 32 39 40 41 42 43 44
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20 26 27 28 29 30 33 34 35
36 37 38
chain bonds :
7-12 9-11 12-13 12-14 14-15 17-22 18-23 20-21 23-24 24-25 24-26 28-42
30-31 31-32 32-33 36-39 39-40 39-41 41-44 42-43
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20 26-27 26-30 27-28 28-29 29-30 33-34 33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
5-6 5-7 6-9 7-8 7-12 8-9 9-11 12-13 12-14 14-15 17-22 18-23 20-21 23-24
24-25 24-26 26-27 26-30 27-28 28-29 28-42 29-30 30-31 31-32 32-33 33-34
33-38 34-35
35-36 36-37 36-39 37-38 39-40 39-41 41-44 42-43
normalized bonds :
1-2 1-6 2-3 3-4 4-5 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 : 26 : 33 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
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23:CLASS 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS
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33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS 40:CLASS 41:CLASS
42:CLASS 43:CLASS
44:CLASS

L3 STRUCTURE UPLOADED

=> d his

(FILE 'HOME' ENTERED AT 07:24:11 ON 18 APR 2008)

FILE 'REGISTRY' ENTERED AT 07:24:17 ON 18 APR 2008
L1 STRUCTURE UPLOADED
L2 7 S L1 SSS FULL

FILE 'STNGUIDE' ENTERED AT 07:25:03 ON 18 APR 2008

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L3              STRUCTURE UPLOADED
L4            4 S L3 SSS FULL SUB=L2
L5            3 S L2 NOT L4

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L7            1 S US200!-562122/APPS
L8            1 S L6 AND L7
L9            4 S L6 NOT L7

=> d l8 bib abs

L8   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2008 ACS on STN
AN   2005:99497  CAPLUS <<LOGINID::20080418>>
DN   142:197874
TI   Preparation of indole derivative containing cyclohexanecarboxylic acid
     moiety as VLA-4 inhibitors
IN   Ono, Makoto; Noguchi, Shigeru
PA   Daiichi Pharmaceutical Co., Ltd., Japan
SO   PCT Int. Appl., 19 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2005009992        A1    20050203    WO 2004-JP10457         20040723
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CA 2528586            A1    20050203    CA 2004-2528586         20040723
     EP 1650205            A1    20060426    EP 2004-747846          20040723
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     CN 1826336            A     20060830    CN 2004-80021230        20040723
     US 20070105936        A1    20070510    US 2005-562122          20051223 <--
     MX 2006PA00850        A     20060330    MX 2006-PA850           20060123
PRAI JP 2003-201062        A     20030724
     WO 2004-JP10457       W     20040723
OS   CASREACT 142:197874
GI
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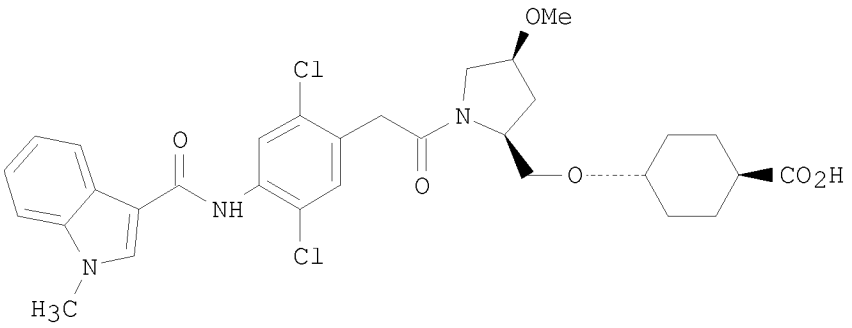


I

AB A VLA-4 (very late antigen-4) inhibitory compound I sodium salt pentahydrate having high solubility in water and long-term stability was prepared Thus, EDCI-mediated acylation of trans-4-[(4S)-methoxy-(2S)-pyrrolidinylmethoxy]cyclohexanecarboxylic acid Me ester with [2,5-dichloro-4-[(1-methyl-1H-3-indolylcarbonyl)amino]phenyl]acetic acid, followed by treatment with aqueous NaOH afforded compound I sodium salt pentahydrate. Compound I sodium salt pentahydrate is claimed useful for the treatment of inflammation, diabetes, etc.

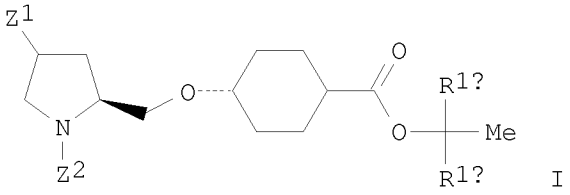
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l9 tot bib abs hitstr

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:352105 CAPLUS <<LOGINID::20080418>>
DN 146:379822
TI Stereoselective preparation of trans-cyclohexanes as intermediates for VLA-4 inhibitors
IN Chiba, Atsushi
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 61pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2007077032	A	20070329	JP 2005-263466	20050912
PRAI JP 2005-263466		20050912		

OS MARPAT 146:379822
GI



I

AB Title compds. I [Z1 = β-OR6; Z2 = H; R1a, R1b = lower alkyl,

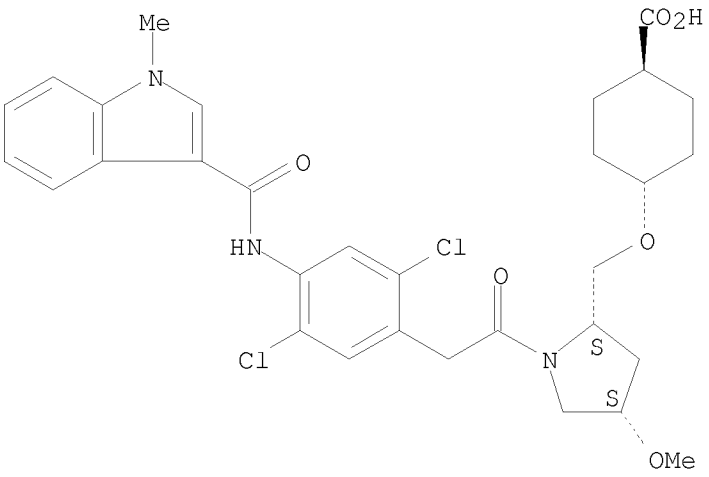
(un)substituted Ph, (un)substituted PhCH2; R6 = lower alkyl] are prepared by esterification of trans-4-HOZ3CO2CMeR1aR1b (Z3 = cyclohexanediyl; R1a, R1b = same as above) with (S)-(+)-epihalohydrin, treatment with H2C:CHX3 (X3 = MgCl, MgBr, MgI, Li), Mitsunobu reaction with [(un)substituted benzo]succinimide, treatment with hydrazines, amidation with (un)substituted benzoyl compds., cyclization in the presence of iodine, and via I [Z1 = α-R3CO2; Z2 = H; R1a, R1b = same as above; R3 = (un)substituted Ph], I [Z2 = R4O2C; R4 = (un)substituted PhCH2, Ph2CH; Z1, R3, R1a, R1b = same as above], I [Z1 = α-OH; Z2, R4, R1a, R1b = same as above], I [Z1 = β-R5CO2; R5 = H, lower alkyl, (un)substituted Ph; Z2, R4, R1a, R1b = same as above], and I [Z1 = β-OH; Z2, R4, R1a, R1b = same as above]. Thus, I (Z1 = α-OH, Z2 = cbz, R1a, R1b = Me) was formylated, hydrolyzed, treated with MeI, and deprotected to give I (Z1 = β-MeO, Z2 = H, R1a, R1b = same as above), which was amidated with 2,5-dichloro-4-[(1-methylindol-3-yl)carboxamido]phenylacetic acid to afford the corresponding amide.

IT 793669-59-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of VLA-4 inhibitors from trans-cyclohexanecarboxylic acid tertiary alc. esters)

RN 793669-59-7 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(2S,4S)-1-[2-[2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]phenyl]acetyl]-4-methoxy-2-pyrrolidinyl]methoxy]-, trans- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:638842 CAPLUS <<LOGINID::20080418>>
DN 143:153280
TI Process for preparation of pyrrolidine derivatives
IN Takayanagi, Yoshihiro; Yamada, Toshihide; Furuya, Yukito; Yoneda, Yoshiyuki
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2005066124	A1	20050721	WO 2004-JP19581	20041227
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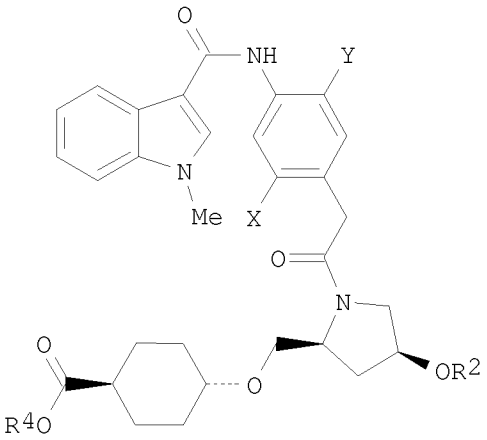
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1698621	A1	20060906	EP 2004-807936	20041227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	US 20070149607	A1	20070628	US 2006-584141	20060626
PRAI	JP 2003-431686	A	20031226		
	WO 2004-JP19581	W	20041227		
OS	MARPAT 143:153280				
GI					



I

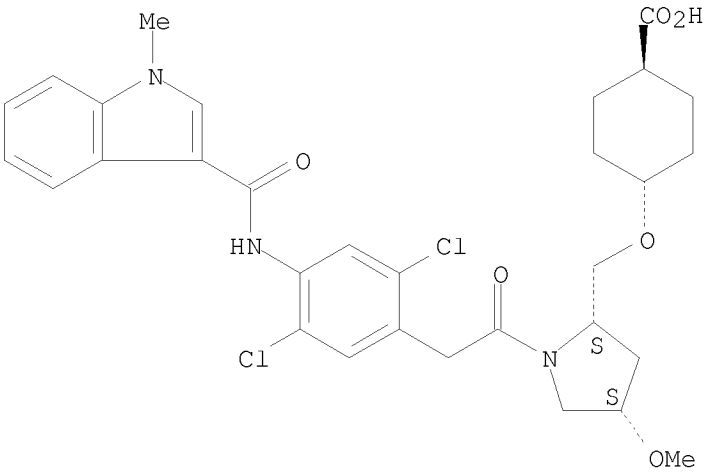
AB Disclosed is an advantageous method for producing an intermediate compound I [wherein X = H or halo; Y = halo or alkoxy; R2 = alkyl; R4 = (un)substituted alkyl or aralkyl], which is useful for obtaining a safe compound having excellent VLA-4 inhibitory activity. For example, the compound I•Na (X = Y = Cl; R2 = Me; R4 = H) was prepared in a multi-step synthesis.

IT 858362-36-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolidine derivs.)

RN 858362-36-4 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(2S,4S)-1-[2-[2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]phenyl]acetyl]-4-methoxy-2-pyrrolidinyl]methoxy]-, sodium salt (1:1), trans- (CA INDEX NAME)

Absolute stereochemistry.

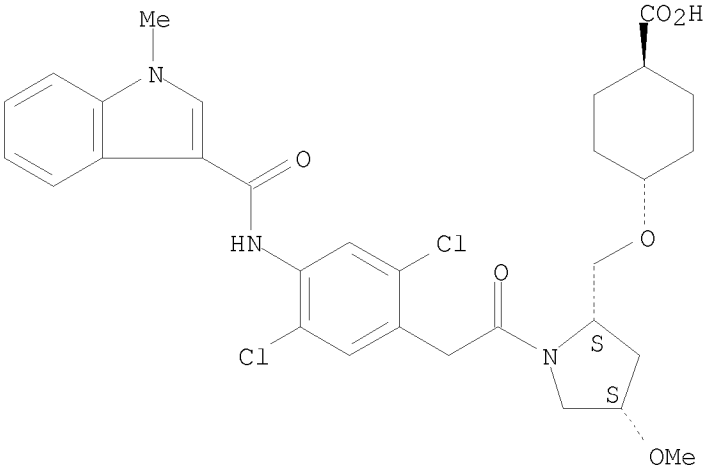


● Na

IT 835901-02-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrrolidine derivs.)
RN 835901-02-5 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[(2S,4S)-1-[[2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]phenyl]acetyl]-4-methoxy-2-pyrrolidinyl]methoxy]-, monosodium salt, pentahydrate, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



● Na

●5 H_2O

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:612230 CAPLUS <<LOGINID::20080418>>
DN 143:133271
TI Process for preparation of phenylacetic acid derivatives
IN Nakayama, Atsushi; Noguchi, Shigeru; Furuya, Yukito; Okano, Katsuhiko
PA Daiichi Pharmaceutical Co., Ltd, Japan
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

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PI	WO 2005063678	A1	20050714	WO 2004-JP19578	20041227
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	US 20070149606	A1	20070628	US 2006-584240	20060626
PRAI	JP 2003-431680	A	20031226		
	JP 2004-283082	A	20040929		
	JP 2004-312335	A	20041027		
	WO 2004-JP19578	W	20041227		

OS MARPAT 143:133271
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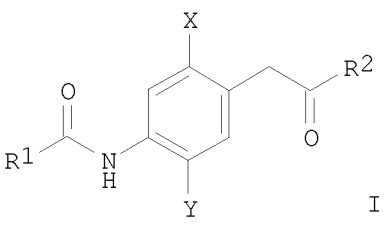

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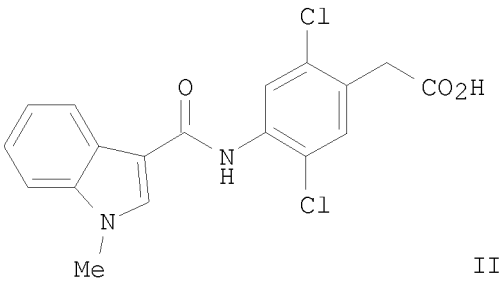


II

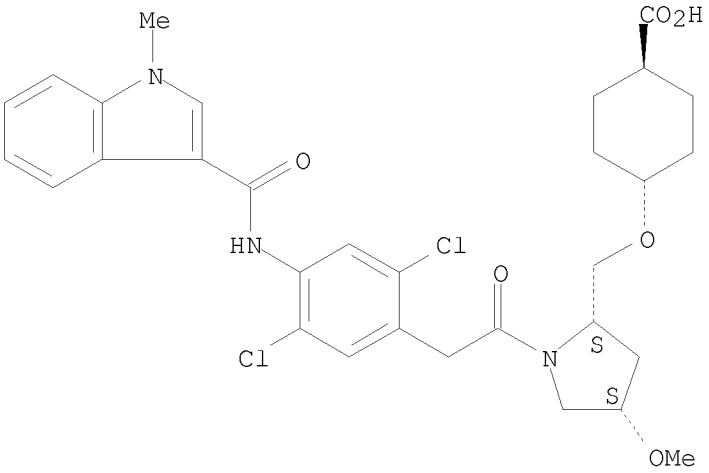
AB This invention pertains to a method for producing heterocycle substituted phenylacetic acid derivs. I [wherein R1 = (un)substituted aryl or heteroaryl; R2 = (un)substituted alkoxy, aralkyloxy, phenoxy, etc.; X = H or halo; Y = halo or alkoxy]. For example, the compound II was prepared in a multi-step synthesis. This invention provides a convenient method to prepare phenylacetic acid derivs. which are useful intermediates for the preparation of medicinal compds.

IT 858362-36-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylacetic acid derivs.)

RN 858362-36-4 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(2S,4S)-1-[2-[2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]phenyl]acetyl]-4-methoxy-2-pyrrolidinyl]methoxy]-, sodium salt (1:1), trans- (CA INDEX NAME)

Absolute stereochemistry.



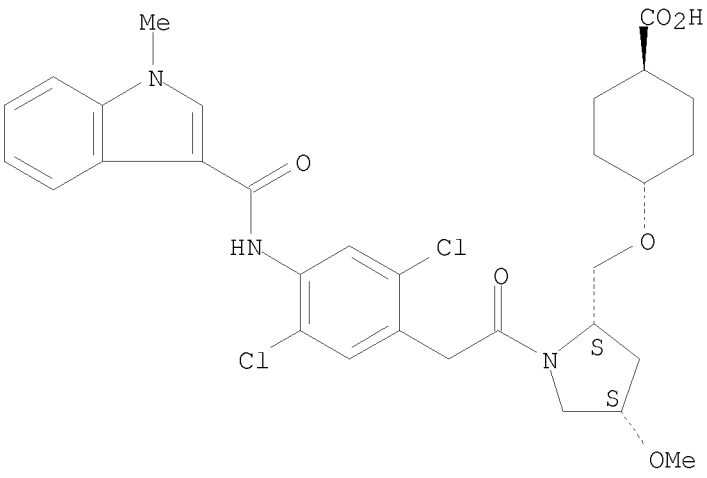
IT 835901-02-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of phenylacetic acid derivs.)

RN 835901-02-5 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(2S,4S)-1-[[2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]phenyl]acetyl]-4-methoxy-2-pyrrolidinyl]methoxy]-, monosodium salt, pentahydrate, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



● Na

PAGE 2-A

● 5 H_2O

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:996121 CAPLUS <<LOGINID::20080418>>
DN 141:410814
TI Process for preparation of pyrrolidine derivatives
IN Nakayama, Atsushi; Machinaga, Nobuo; Yoneda, Yoshiyuki; Setoguchi, Masaki
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004099136	A1	20041118	WO 2004-JP6471	20040507

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG
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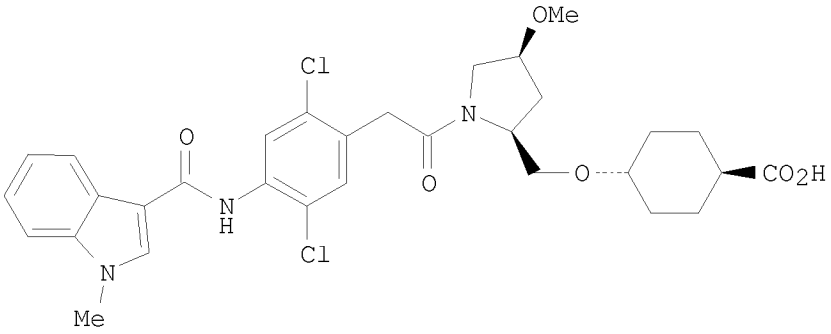
US 20070105935 A1 20070510 US 2005-556043 20051108
US 7345179 B2 20080318
PRAI JP 2003-131978 A 20030509
JP 2003-144430 A 20030522
JP 2003-209579 A 20030829
WO 2004-JP6471 W 20040507
OS MARPAT 141:410814
GI



I

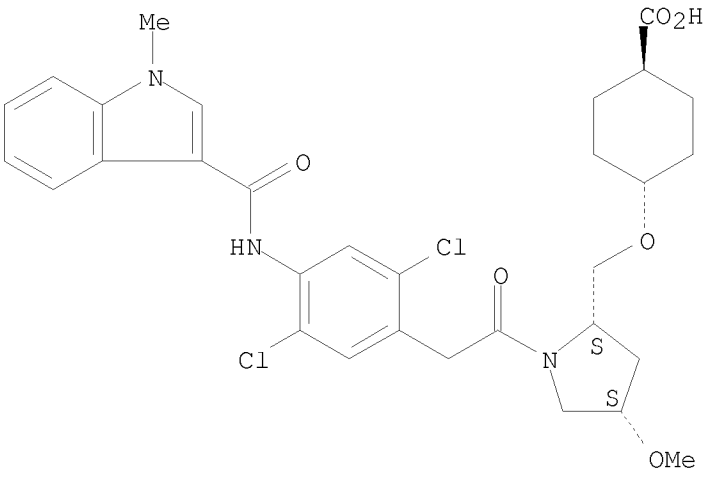
AB This invention pertains to a method for industrially advantageously producing 1,4-trans-cyclohexanecarboxylic acid derivative I which comprises reduction and isomerization processes. Trans-4-[(4S)-Methoxy-(2S)-pyrrolidinylmethoxy]cyclohexanecarboxylic acid Et ester (preparation given) was reacted with 2,5-dichloro-4-[(1-methyl-1H-indol-3-yl)carboxamido]phenylacetic acid (preparation given) to give I Et ester (99.8%).

IT 793669-59-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrrolidine derivs.)

RN 793669-59-7 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(2S,4S)-1-[2-[2,5-dichloro-4-[[(1-methyl-1H-indol-3-yl)carbonyl]amino]phenyl]acetyl]-4-methoxy-2-pyrrolidinyl]methoxy]-, trans- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT